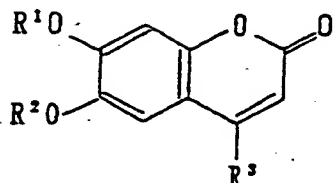


WHAT IS CLAIMED IS:

*Sub A1*  
1. A controlled-release oral preparation comprising esculetin, its derivative shown by the formula (I),



(I)

5 [wherein R<sup>1</sup> and R<sup>2</sup> are individually a hydrogen atom or a saturated or unsaturated aliphatic acyl group having 2-25 carbon atoms or benzoyl group, and R<sup>3</sup> is a hydrogen atom, hydroxyl group, alkyl group, aryl group, or aralkyl group], or a pharmaceutically acceptable salt thereof as an effective component.

*B1*  
*cont*  
2. The controlled-release oral preparation of esculetin according to claim 1, containing 0.5 to 90 wt% (hereinafter referred to as "%") of a gel-forming polymer base.

3. The controlled-release oral preparation of esculetin  
15 according to claim 2, wherein the gel-forming polymer base is hydroxypropylmethylcellulose.

*B2*  
*sub*  
4. The controlled-release oral preparation of esculetin according to claim 1, containing 0.5 to 50% of an enteric coating base.

20 5. The controlled-release oral preparation of esculetin according to claim 4, wherein the enteric coating base is hydroxypropylmethylcellulose acetate succinate, hydroxypropylmethylcellulose phthalate, cellulose acetate

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phthalate, carboxymethylethylcellulose, or methacrylic acid copolymer.

5 6. The controlled-release oral preparation of esculetin according to claim 1, containing 0.5 to 50% of an insoluble coating base.

7. The controlled-release oral preparation of esculetin according to claim 6, wherein the insoluble coating base is ethylcellulose.

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B3  
10 8. The controlled-release oral preparation of esculetin according to claim 6, comprising 0.5 to 90% of a gel-forming polymer base, and 0.5 to 50% of an enteric coating base and/or 0.5 to 50% of an insoluble coating base.

15 9. The controlled-release oral preparation of esculetin according to any one of claims 1-8, of which the release of esculetin or its derivative is controlled so that the concentration of glucuronic acid conjugates in plasma is maintained at 0.5  $\mu\text{mol/L}$  or more for a period of 10 hours or more after administration when the preparation is orally administered to a beagle dog at a dose of 1-100 mg/kg.

20 10. The controlled-release oral preparation of esculetin according to any one of claims 1-8, of which the release of esculetin is controlled so that the period of time required for the preparation to dissolve 80% of esculetin is 0.5 to 23 hours as determined by the dissolution test according to the Japanese  
25 Pharmacopoeia (paddle method).

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